

Development of new antibacterial agents

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Abstract

Background: Antibiotics, as miraculous drugs, have been used extensively to confront fatal infection, even without prescriptions. However, the inappropriate and disproportionate use of antibiotics have led to the emergence of new drug-resistant bacteria¹, which causes a high risk of serious diseases and dramatically aggravates the clinical complications in hospitals.

Methods: By using the peptide coupling protocol, a simple straightforward synthesis of functionalized aziridines has been developed. By means of this synthetic strategy from readily available N-phthaloyl acid and 2-methylbenzenesulfonate aziridine using DCC as coupling agent, new tosylates aziridines could be obtained. The coupling reactions occurred without a ring opening of the three membered ring.

Results: This work describes new results of our ongoing research targeting new derivatives of biological interests. All the compounds were screened for their antibacterial activity; they all showed comparable moderate to good growth inhibitory activity with reference to tetracycline and gentamicin.

Conclusion: In conclusion, we reported the synthesis and a preliminary antibacterial evaluation of novel functionalized tosylaziridines. The synthetic strategy relies on the coupling reactions between tosylaziridines and amino acids. Moreover, and besides showing interesting antibacterial activities, the series of novel compounds can be further improved to serve as potential drug against nosocomial diseases.

Keywords: Aziridines, Phthaloyl acid, Strained heterocycles, Antibiotics

1. Declaration of conflicts

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2. Authors' biography

No Biography

3. References

No reference